

10/620,531

STN - STRUCTURE SEARCH  
8.5.04

=> d ibib abs hitstr 1-3

14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:60508 CAPLUS

DOCUMENT NUMBER: 140:94295

TITLE: Preparation of phenylalanine enamide derivatives containing a spiro[3.5]non-1-ene ring for use as integrin inhibitors

INVENTOR(S): Brown, Julien Alistair; Bailey, Stuart; Brand, Stephen

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

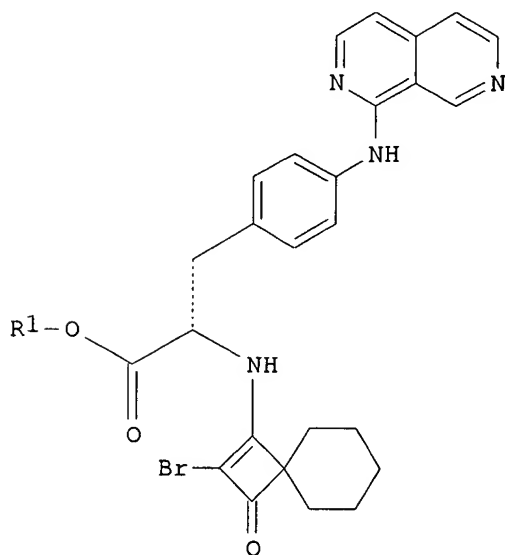
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007494	A1	20040122	WO 2003-GB3104	20030716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

GB 2002-16571

A 20020717

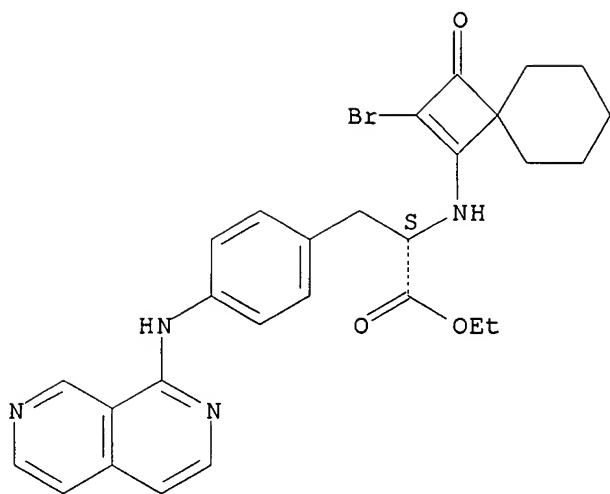
GI



I

AB Phenylalanine enamide derivs. I [R1 = iso-Pr, Pr, Me3CCH2, CH2CH2OH or -OMe, CH2CH2OCH2CH2OH or -OMe, 2-morpholinoethyl, 2-(4-methyl-1-piperazinyl)ethyl, 2-tetrahydropyranylmethyl] or their salts, solvates and N-oxides were prepared as potent and selective inhibitors of  $\alpha_4$

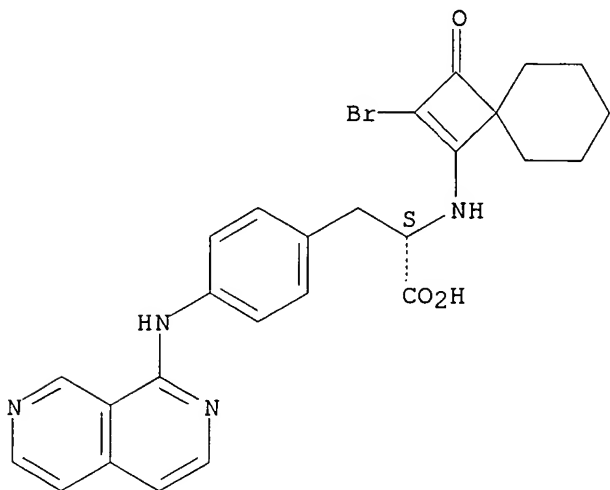
10/620,531



RN 455264-31-0 CAPLUS

CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:60451 CAPLUS

DOCUMENT NUMBER: 140:94294

TITLE: Process for the preparation of phenylalanine enamide derivatives

INVENTOR(S): Skead, Benjamin Mark; Tyrrell, Nicholas David; Jones, Stephen Wilfred; Brookes, Michael Handforth

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

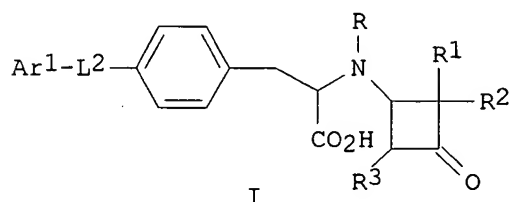
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007428	A1	20040122	WO 2003-GB3108	20030716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004073033      A1      20040415      US 2003-620396      20030716 PRIORITY APPLN. INFO.:      GB 2002-16574      A      20020717 OTHER SOURCE(S):      MARPAT 140:94294 GI				



AB The invention describes a process for the preparation of phenylalanine enamide derivs. I [Arl is an (un)substituted aromatic or heteroarom. group; L2 is a linker group NH, CONH, SO2NH or N-alkyl derivs.; R is H or alkyl; R1, R2, R3 are -L1-Alk10-1-R41-3, where L1 is a covalent bond or a linker atom or group, Alk1 is an (un)substituted aliphatic or heteroaliph. chain, R4 is H, halo, OH, (cyclo)alkoxy, (cyclo)alkylthio, CN, or an (un)substituted (hetero)cycloaliph., (hetero)polycycloaliph., or (hetero)aromatic group; or R1 and R2 are joined together to form an (un)substituted spiro-linked (hetero)cycloaliph. group], including their salts, solvates, hydrates and N-oxides, which comprises reacting a p-amino- or p-(alkylamino)phenylalanine derivative with a compound Ar1-W, where W is a leaving group, CO2H, a carbonyl or sulfonyl halide. Thus, Et 2(S)-[(3-oxospiro[3.5]non-1-enyl)amino]-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propionate was prepared by acylation of Et 3-(4-aminophenyl)-2(S)-[(3-oxospiro[3.5]non-1-enyl)amino]propionate (II) with 3,5-dichloroisonicotinoyl chloride. Intermediate II was prepared by reaction of 4-nitro-L-phenylalanine Et ester with spiro[3.5]nonane-1,3-dione.

IT 455264-29-6P 644995-18-6P

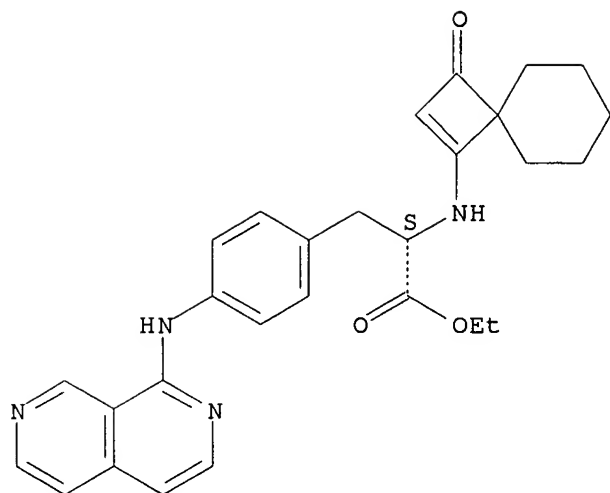
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (process for preparation of phenylalanine enamide derivs.)

RN 455264-29-6 CAPLUS

CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/620,531

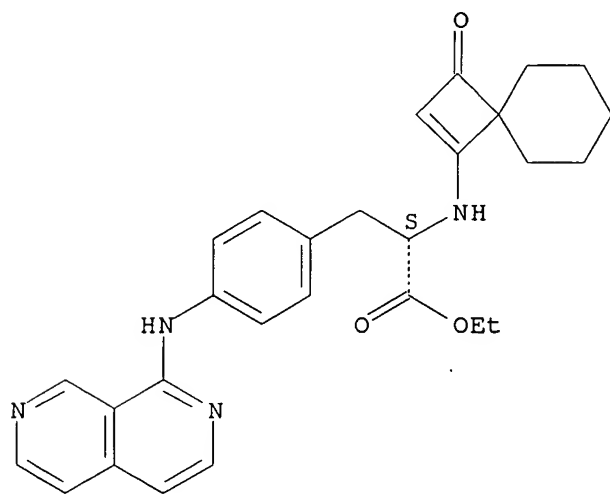


RN 644995-18-6 CAPLUS  
CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester, (2R,3R)-2,3-bis[(4-methylbenzoyl)oxy]butanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 455264-29-6  
CMF C28 H30 N4 O3

Absolute stereochemistry.

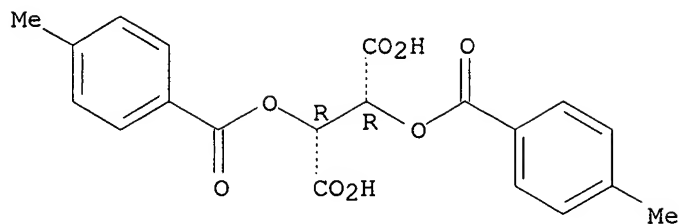


CM 2

CRN 32634-66-5  
CMF C20 H18 O8

Absolute stereochemistry.

10/620,531



IT 455264-30-9P 644995-19-7P

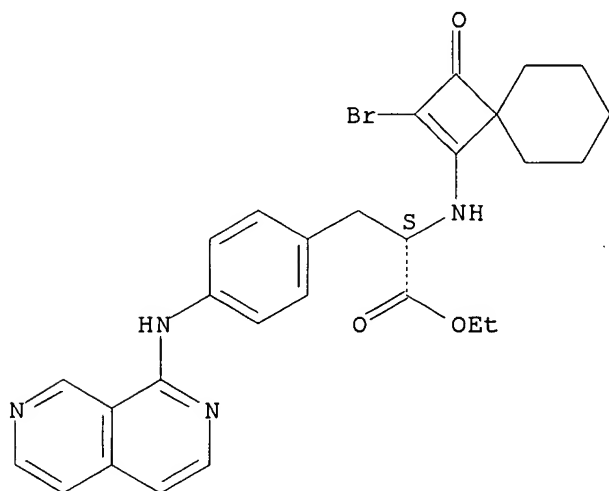
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for preparation of phenylalanine enamide derivs.)

RN 455264-30-9 CAPLUS

CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 644995-19-7 CAPLUS

CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

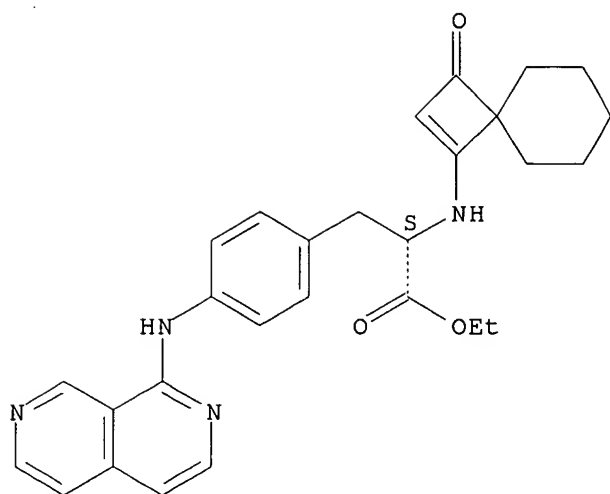
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CRN 455264-29-6

CMF C28 H30 N4 O3

Absolute stereochemistry.

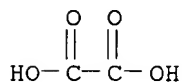
10/620,531



CM 2

CRN 144-62-7

CMF C2 H2 O4



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:675997 CAPLUS

DOCUMENT NUMBER: 137:217241

TITLE: Preparation of phenylalanine enamide derivatives possessing a cyclobutene group for use as integrin inhibitors

INVENTOR(S): Bailey, Stuart; Brown, Julien Alistair; Brand, Stephen; Johnson, James Andrew; Porter, John Robert; Head, John Clifford

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068393	A1	20020906	WO 2002-GB206	20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

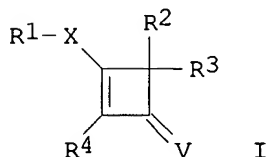
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GB 2387845 A1 20031029 GB 2003-18429 20020118  
 EP 1370531 A1 20031217 EP 2002-715515 20020118  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2002007166 A 20040210 BR 2002-7166 20020118  
 US 2002169336 A1 20021114 US 2002-81072 20020222  
 NO 2003003710 A 20031022 NO 2003-3710 20030820

PRIORITY APPLN. INFO.: GB 2001-4418 A 20010222  
 GB 2001-14000 A 20010608  
 GB 2001-27562 A 20011116  
 WO 2002-GB206 W 20020118

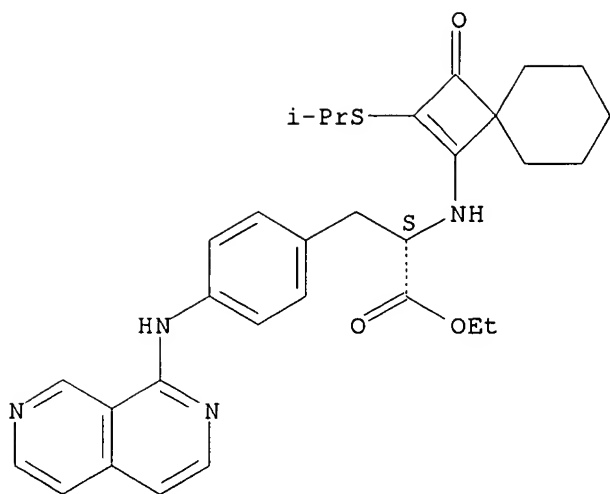
OTHER SOURCE(S): MARPAT 137:217241  
 GI



- AB Phenylalanine enamide derivs. I [R1 is a group Ar1-L2-Ar2-Alk- in which Ar1 is an optionally substituted (hetero)aromatic group, L2 is a covalent bond or a linker atom or group, Ar2 is an optionally substituted (hetero)arylene group, and Alk is CH<sub>2</sub>CHCO<sub>2</sub>H, CH:CCO<sub>2</sub>H, or CHCH<sub>2</sub>CO<sub>2</sub>H or a derivative or biostere; X = O, S, NH or alkylimino; V = O or S; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> = L1-(Alk1)<sub>n</sub>(R5)<sub>v</sub>, in which L1 is a covalent bond or a linker atom or group, Alk1 is an optionally substituted (hetero)aliphatic chain, R<sub>5</sub> = H, halo, OH, SH, CN, (un)substituted (cyclo)alkoxy, (cyclo)alkylthio, (hetero)(poly)cycloaliph. or (hetero)aromatic group; n = 0 or 1, and v = 1-3] were prepared Compds. I inhibit the binding of integrins to their ligands and are of use in the prophylaxis and treatment of immuno or inflammatory disorders or disorders involving the inappropriate growth or migration of cells. Thus, (2S)-2-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoic acid (claimed compound) was prepared by reaction of Et (2S)-2-amino-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoate (preparation given) with 1-keto-3-hydroxyspiro[3.5]non-2-ene, followed by hydrolysis.
- IT 455263-33-9P 455264-25-2P 455264-26-3P  
 455264-29-6P 455264-30-9P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of phenylalanine enamide derivs. possessing a cyclobutene group for use as integrin inhibitors)
- RN 455263-33-9 CAPLUS
- CN L-Phenylalanine, N-[2-[(1-methylethyl)thio]-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

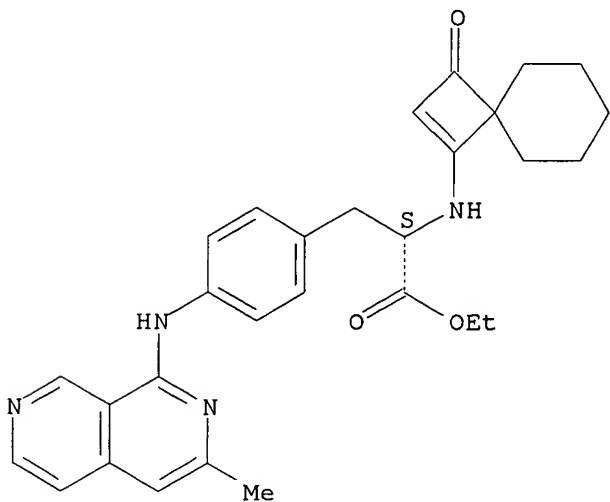
10/620,531



RN 455264-25-2 CAPLUS

CN L-Phenylalanine, 4-[(3-methyl-2,7-naphthyridin-1-yl)amino]-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



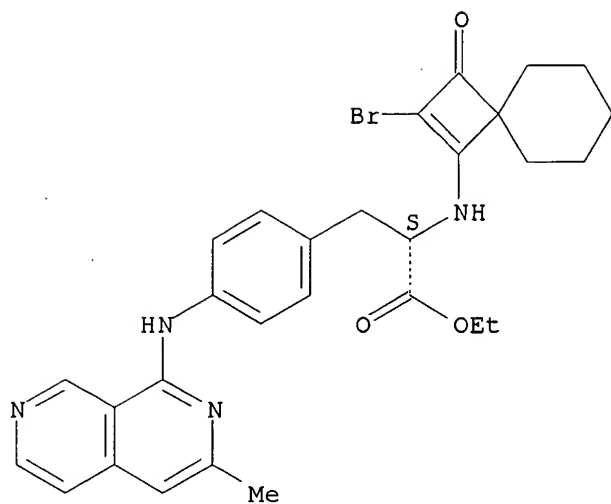
RN 455264-26-3 CAPLUS

CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-[(3-methyl-2,7-naphthyridin-1-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



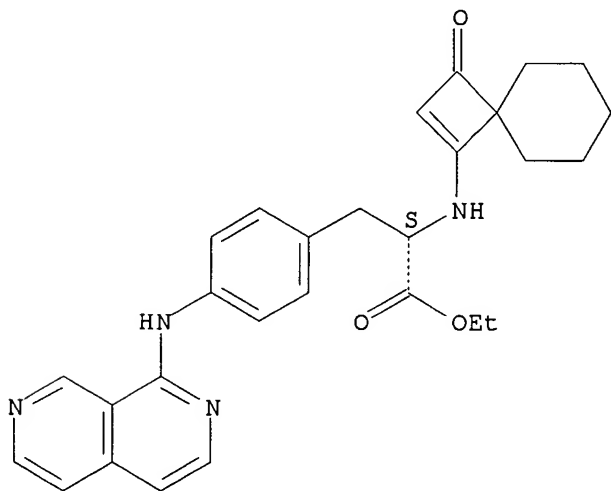
10/620,531



RN 455264-29-6 CAPLUS

CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

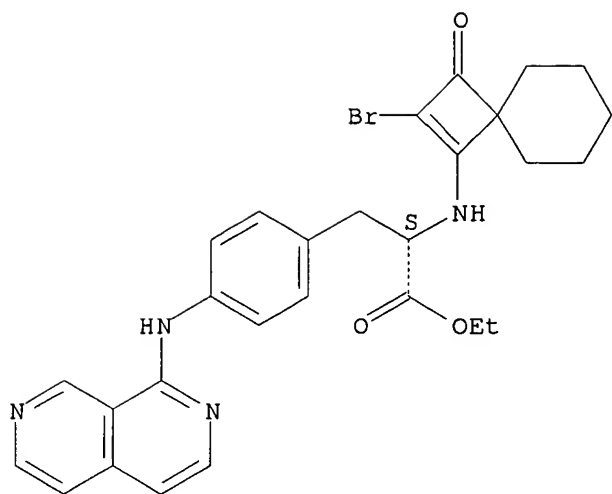


RN 455264-30-9 CAPLUS

CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/620,531



IT 455263-34-0P 455263-93-1P 455264-27-4P

455264-28-5P 455264-31-0P 455264-32-1P

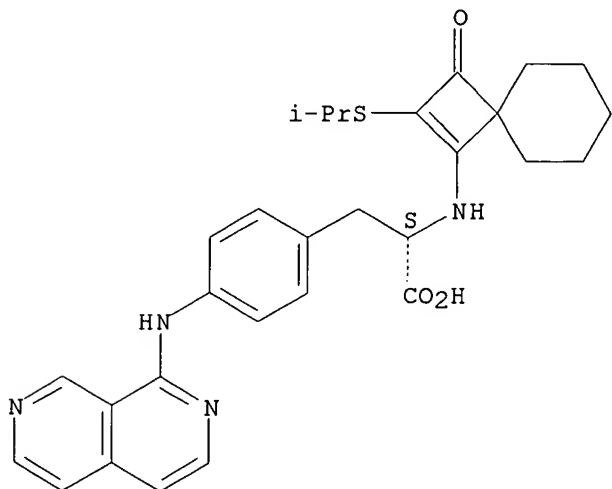
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylalanine enamide derivs. possessing a cyclobutene group for use as integrin inhibitors)

RN 455263-34-0 CAPLUS

CN L-Phenylalanine, N-[2-[(1-methylethyl)thio]-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

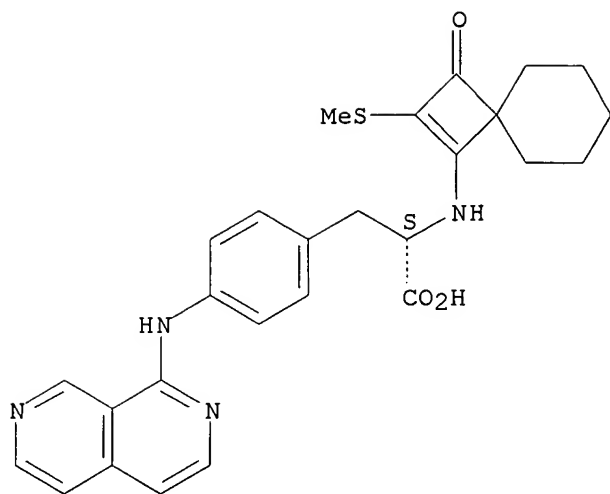


RN 455263-93-1 CAPLUS

CN L-Phenylalanine, N-[2-(methylthio)-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

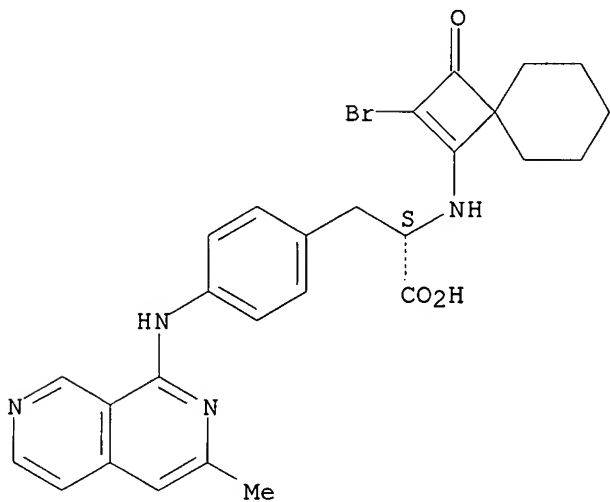
10/620,531



RN 455264-27-4 CAPLUS

CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-[(3-methyl-2,7-naphthyridin-1-yl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

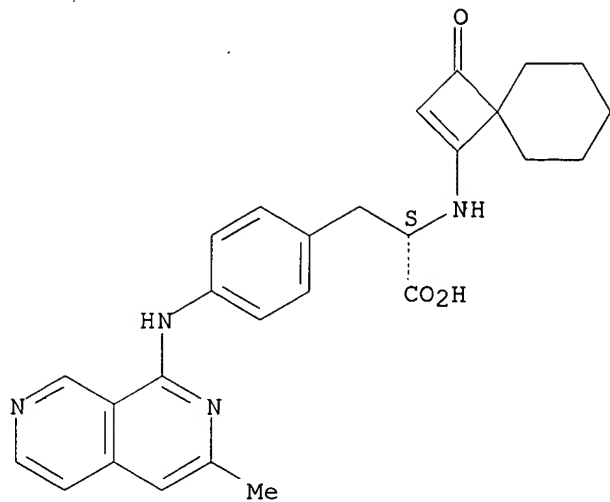


RN 455264-28-5 CAPLUS

CN L-Phenylalanine, 4-[(3-methyl-2,7-naphthyridin-1-yl)amino]-N-(3-oxospiro[3.5]non-1-en-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

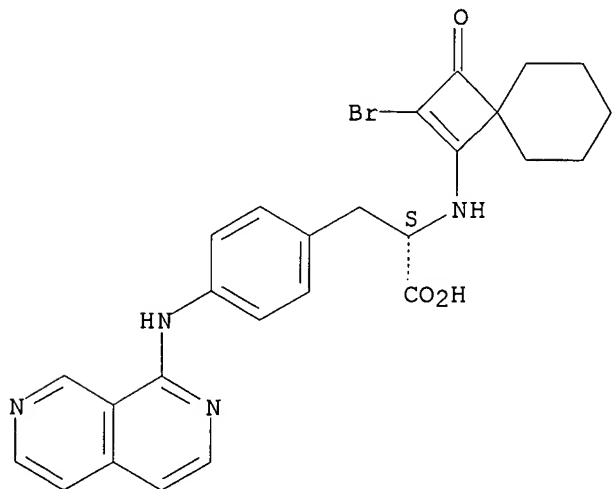
10/620,531



RN 455264-31-0 CAPLUS

CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

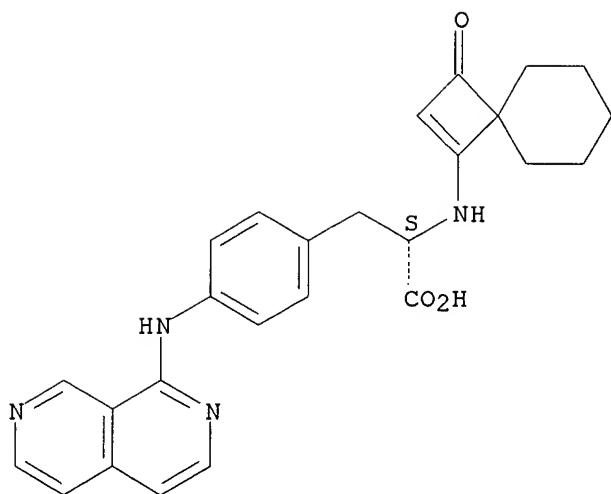


RN 455264-32-1 CAPLUS

CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/620,531



IT 455265-03-9P

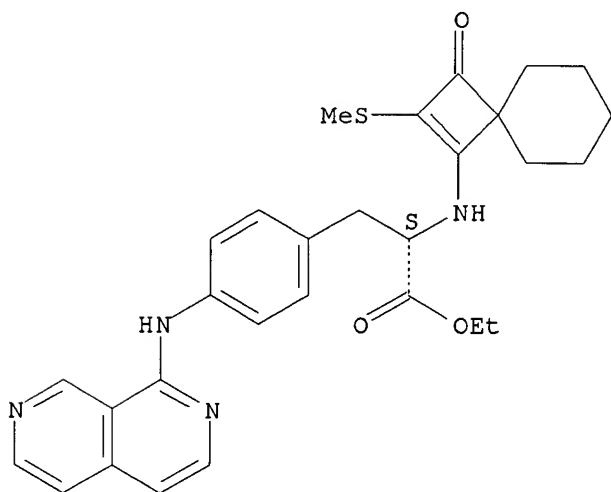
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenylalanine enamide derivs. possessing a cyclobutene group for use as integrin inhibitors)

RN 455265-03-9 CAPLUS

CN L-Phenylalanine, N-[2-(methylthio)-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 08:14:22 ON 05 AUG 2004)

FILE 'REGISTRY' ENTERED AT 08:14:33 ON 05 AUG 2004

L1 STRUCTURE UPLOADED

L2 1 S L1

10/620,531

L3 24 S L1 FULL

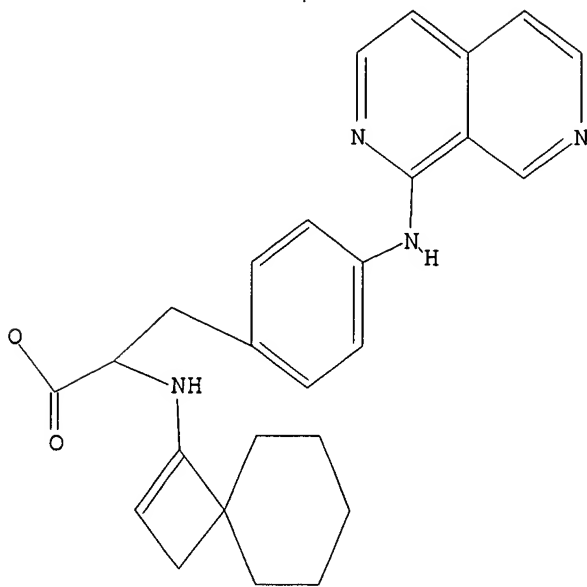
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L4 3 S L3

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=>

**PALM INTRANET**Day : Thursday  
Date: 8/5/2004  
Time: 07:59:01**Inventor Name Search Result**

Your Search was:

Last Name = BROWN

First Name = JULIEN

Application#	Patent#	Status	Date Filed	Title	Inventor Name 6
<a href="#">10620533</a>	Not Issued	030	07/16/2003	PHENYLALANINE ENAMIDE DERIVATIVES	BROWN, JULIEN ALISTAIR
<a href="#">10620531</a>	Not Issued	030	07/16/2003	PHENYLALANINE ENAMIDE DERIVATIVES	BROWN, JULIEN ALISTAIR
<a href="#">10081072</a>	Not Issued	041	02/22/2002	PHENYLALANINE ENAMIDE DERIVATIVES	BROWN, JULIEN A.
<a href="#">09408258</a>	<a href="#">6274577</a>	150	09/29/1999	BENZODIAZEPINES	BROWN, JULIEN ALISTAIR
<a href="#">08984198</a>	<a href="#">5859034</a>	150	12/03/1997	TRI-SUBSTITUTED PHENYL COMPOUNDS WHICH HAVE USEFUL PHARMACEUTICAL ACTIVITY	BROWN , JULIEN ALISTAIR
<a href="#">08769466</a>	<a href="#">5891896</a>	150	12/20/1996	TRI-SUBSTITUTED PHENYL DERIVATIVES USEFUL AS PDE IV INHIBITORS	BROWN , JULIEN A.

**Inventor Search Completed: No Records to Display.**

	<b>Last Name</b>	<b>First Name</b>
<b>Search Another:</b>	<input type="text" value="Brown"/>	<input type="text" value="Julien"/>
<b>Inventor</b>	<input type="button" value="Search"/>	

To go back use Back button on your browser toolbar.

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